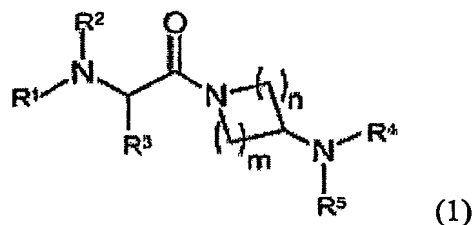


## CLAIMS

1. A compound of the following formula (1):



in which

m and n each independently represents 1 or 2,

R<sup>1</sup> represents

hydrogen,

-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>,

-(CH<sub>2</sub>)<sub>p</sub>-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>,

-(CH<sub>2</sub>)<sub>p</sub>-CO-(CH<sub>2</sub>)<sub>p</sub>-CH(R<sup>6</sup>)(R<sup>10</sup>), or

-(CH<sub>2</sub>)<sub>p</sub>-SO<sub>2</sub>-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>,

wherein

p independently represent 0, 1, 2, or 3,

R<sup>6</sup> represents C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, heterocycle, aryl, heteroaryl, amino, or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by one or more substituents selected from the group consisting of C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-dialkyl, C<sub>3</sub>-C<sub>13</sub>-cycloalkyl, C<sub>3</sub>-C<sub>13</sub>-dicycloalkyl, C<sub>3</sub>-C<sub>13</sub>-tricycloalkyl, perhalo-C<sub>1</sub>-C<sub>8</sub>-alkyl, aryl, heteroaryl, heterocycle, hydroxy, C<sub>1</sub>-C<sub>8</sub>-alkoxy, C<sub>1</sub>-C<sub>8</sub>-alkoxy-C<sub>1</sub>-C<sub>8</sub>-alkoxy, trifluoromethoxy, aryl-C<sub>1</sub>-C<sub>8</sub>-alkoxy, aryloxy, oxo, mercapto, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl, arylsulfonyl, C<sub>1</sub>-C<sub>8</sub>-alkylthio, arylthio, cyano, formyl, halogen, carbonyl, thiocarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylcarbonyl, arylcarbonyl, ar-C<sub>1</sub>-C<sub>8</sub>-alkyl, ar-C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, ar-C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl, O-carbamoyl, N-carbamoyl, O-thiocarbamoyl, N-thiocarbamoyl,

carbamoyl, C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, di(C<sub>1</sub>-C<sub>8</sub>-alkyl)carbamoyl, O-sulfoneamido, N-sulfonamido, carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, trihalomethanesulfonyl, amino, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, and protective derivatives thereof,

R<sup>10</sup> represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R<sup>7</sup>,

wherein,

R<sup>7</sup> represents halogen, amino, C<sub>1</sub>-C<sub>6</sub>-alkylamino, di(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino, hydroxy, C<sub>1</sub>-C<sub>8</sub>-alkoxy, trifluoromethoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, carboxy, C<sub>1</sub>-C<sub>8</sub>-alkyl, mercapto, C<sub>1</sub>-C<sub>10</sub>-alkylthio, phenoxy, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, arylcarbonyl, carbamoyl, C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, arylsulfonyl, cyano or oxo,

R<sup>6</sup> and R<sup>10</sup> may form 5- or 6-membered single ring together with the atoms to which they attached,

hydrogen atom in -(CH<sub>2</sub>)<sub>p</sub>- group can be replaced by R<sup>6</sup>,

R<sup>2</sup> represents

hydrogen,

C<sub>1</sub>-C<sub>8</sub>-alkyl which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R<sup>7</sup>,

C<sub>3</sub>-C<sub>7</sub>-cycloalkyl, or

-CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>,

R<sup>1</sup> and R<sup>2</sup> together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C<sub>1</sub>-C<sub>4</sub>-alkyl),

R<sup>3</sup> and R<sup>4</sup> each independently represents

hydrogen,

C<sub>1</sub>-C<sub>8</sub>-alkyl,

-(CH<sub>2</sub>)<sub>p</sub>-C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

$-(CH_2)_p-C_6-C_{10}$ -aryl,  
 $-(CH_2)_p$ -heteroaryl, or  
 $-(CH_2)_p$ -heterocycle,

wherein, alkyl, cycloalkyl, heterocycle, aryl, or heteroaryl, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of  $R^7$ ,

$R^5$  represents

hydrogen,  
 $C_1-C_6$ -alkyl,  
 $-(CH_2)_p-CO-R^8$ ,  
 $-(CH_2)_p-C(O)N(R^8)(R^9)$ ,  
 $-(CH_2)_p-C(S)N(R^8)(R^9)$ ,  
 $-(CH_2)_p-SO_2-N(R^8)(R^9)$ , or  
 $-(CH_2)_p-SO_2-R^8$ ,

wherein,

$R^8$  and  $R^9$  each independently represents

hydrogen,  
 $C_1-C_8$ -alkyl,  
 $C_1-C_6$ -alkoxy,  
 $C_1-C_6$ -alkylthio,  
 $C_3-C_7$ -cycloalkyl,  
 $C_3-C_7$ -cycloalkenyl,  
 heterocycle,  
 aryl, or  
 heteroaryl,

wherein

alkyl, cycloalkyl, or aryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of  $R^7$ ,  $C_3-C_8$ -cycloalkyl, heterocycle, hydroxy- $C_1-C_8$ -alkyl, halogen- $C_1-C_8$ -alkyl,  $C_1-C_8$ -alkoxy- $C_1-C_8$ -alkyl, amino- $C_1-C_8$ -alkyl,  $C_3-C_8$ -cycloalkyloxy, ar- $C_1-C_8$ -alkyloxy, aryloxy, arylthio, formyl,  $C_1-C_8$ -alkylcarbamoyl, di( $C_1-C_8$ -alkyl)carbamoyl,  $C_1-C_8$ -alkylcarbonyloxy,  $C_1-C_8$ -alkoxy- $C_1-C_8$ -alkoxy,  $C_3-C_8$ -cycloalkylcarbonyl, ar- $C_1-C_8$ -alkylcarbonyl,  $C_2-C_8$ -alkanoyloxy,  $C_3$ -

C<sub>8</sub>-cycloalkylcarbonyloxy, arylcarbonyloxy which is unsubstituted or substituted by halogen, ar-C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyloxy, C<sub>1</sub>-C<sub>8</sub>-alkoxyimino, ar-C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl, and C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyloxy,

heterocycle, cycloalkenyl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R<sup>7</sup>, and hydroxy-C<sub>1</sub>-C<sub>8</sub>-alkyl,

R<sup>4</sup> and R<sup>5</sup> together with the atoms to which they attached, may form 4- or 8-membered single ring or two ring which can contain heteroatom selected from the group consisting of O, S and N-(C<sub>1</sub>-C<sub>4</sub>-alkyl).

2. The compound according to claim 1 wherein

R<sup>1</sup> represents hydrogen, -(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, -(CH<sub>2</sub>)<sub>p</sub>-CO-R<sup>6</sup>, -CO-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>, -(CH<sub>2</sub>)<sub>p</sub>-CO-(CH<sub>2</sub>)<sub>p</sub>-CH(R<sup>6</sup>)(R<sup>10</sup>), or -SO<sub>2</sub>-(CH<sub>2</sub>)<sub>p</sub>-R<sup>6</sup>,

R<sup>6</sup> represents C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-cycloalkyl, heterocycle, aryl, or heteroaryl, or represent amino or hydroxy,

hydrogen atom in -(CH<sub>2</sub>)<sub>p</sub>- group can be replaced by R<sup>6</sup>,

wherein

C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>8</sub>-cycloalkyl, heterocycle, aryl, or heteroaryl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R<sup>7</sup>,

amino or hydroxy is unsubstituted or mono- or di-substituted by the substituents selected from the group consisting of C<sub>1</sub>-C<sub>10</sub>-alkyl, ar-C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>2</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkylcarbonyl, arylcarbonyl, ar-C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, carbamoyl, C<sub>1</sub>-C<sub>8</sub>-alkylcarbamoyl, di(C<sub>1</sub>-C<sub>8</sub>-alkyl)carbamoyl, C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl, arylsulfonyl, and ar-C<sub>1</sub>-C<sub>8</sub>-alkylsulfonyl,

R<sup>10</sup> is defined as Claim 1,

$R^6$  and  $R^{10}$  may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

3. The compound according to claim 2 wherein

$R^1$  represents hydrogen,  $-(CH_2)_p-R^6$ ,  $-(CH_2)_p-CO-R^6$ ,  $-CO-(CH_2)_p-R^6$ , or  $-(CH_2)_p-CO-(CH_2)_p-CH(R^6)(R^{10})$ , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

4. The compound according to claim 3 wherein

$R^1$  represents hydrogen,  $-R^6$  or  $-CO-CH(R^6)(R^{10})$ ,

$R^{10}$  represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of  $R^7$ ,

$R^6$  and  $R^{10}$  may form 5- or 6-membered single ring together with the atoms to which they attached, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

5. The compound according to claim 1 wherein

$R^2$  represents hydrogen or  $C_1$ - $C_6$ -alkyl, and

pharmaceutically acceptable salt, hydrate, solvate or isomer thereof.

6. The compound according to claim 1 wherein

$R^3$  represents  $C_1$ - $C_8$ -alkyl,  $-(CH_2)_p$ - $C_3$ - $C_7$ -cycloalkyl,  $-(CH_2)_p$ -phenyl, or  $-(CH_2)_p$ -heteroaryl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of  $R^7$ , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

7. The compound according to claim 6 wherein

$R^3$  represents  $-CH_2$ -cyclohexyl or  $-CH_2$ -phenyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of halogen, cyano, hydroxy,  $C_1$ - $C_8$ -alkoxy, trifluoromethoxy and  $C_1$ - $C_4$ -alkyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

8. The compound according to claim 7 wherein

$R^3$  represents  $-CH_2$ -phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and methyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

9. The compound according to claim 1 wherein

$R^4$  represents  $C_1$ - $C_8$ -alkyl, or represent  $C_3$ - $C_8$ -cycloalkyl, phenyl, heteroaryl, or heterocycle, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of  $R^7$ , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

10. The compound according to claim 9 wherein

$R^4$  represents  $C_3$ - $C_8$ -cycloalkyl or phenyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

11. The compound according to claim 10 wherein

$R^4$  represents cyclohexyl, cycloheptyl or cyclopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

12. The compound according to claim 1 wherein

$R^5$  represents hydrogen,  $C_1$ - $C_6$ -alkyl,  $-(CH_2)_p-CO-R^8$ ,  $-(CH_2)_p-C(O)N(R^8)(R^9)$ , or  $-(CH_2)_p-SO_2-R^8$ , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

13. The compound according to claim 12 wherein

$R^5$  represents  $-CO-R^8$  or  $-C(O)N(R^8)(R^9)$ , and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

14. The compound according to claim 13 wherein

$R^8$  and  $R^9$  each independently represents hydrogen, methoxy, amino,  $C_1$ - $C_8$ -alkyl,  $C_3$ - $C_6$ -cycloalkyl,  $C_5$ - $C_6$ -cycloalkenyl, heterocycle, or phenyl,

wherein,  $C_1$ - $C_8$ -alkyl or  $C_3$ - $C_6$ -cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino,  $C_1$ - $C_4$ -alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfoxy, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C<sub>5</sub>-C<sub>6</sub>-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

15. The compound according to any one of claims 4, 8, 11, 13, and 14 wherein

R<sup>1</sup> represents hydrogen, -R<sup>6</sup> or -CO-CH(R<sup>6</sup>)(R<sup>10</sup>),

R<sup>10</sup> represents heterocycle, or represents amino or hydroxy, in each of which is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of R<sup>7</sup>,

R<sup>6</sup> and R<sup>10</sup> may form 5- or 6-membered single ring together with the atoms to which they attached,

R<sup>3</sup> represents -CH<sub>2</sub>-phenyl, in which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of chloro, bromo, cyano, hydroxy, methoxy and methyl,

R<sup>4</sup> represents cyclohexyl, cycloheptyl or cyclopentyl, in each of which is unsubstituted or mono- to tri-substituted by substituents from the group consisting of methyl, ethyl, t-butyl, hydroxy and oxo, or represent phenyl unsubstituted or mono- to tri-substituted by substituents from the group consisting of fluoro, chloro, methoxy and methyl,

R<sup>5</sup> represents -CO-R<sup>8</sup> or -C(O)N(R<sup>8</sup>)(R<sup>9</sup>),

R<sup>8</sup> and R<sup>9</sup> each independently represents hydrogen, methoxy, amino, C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>5</sub>-C<sub>6</sub>-cycloalkenyl, heterocycle, or phenyl,



wherein, C<sub>1</sub>-C<sub>8</sub>-alkyl or C<sub>3</sub>-C<sub>6</sub>-cycloalkyl is unsubstituted or mono-substituted by the substituents selected from the group consisting of methyl, hydroxy, amino, C<sub>1</sub>-C<sub>4</sub>-alkoxy, phenoxy, benzyloxy, fluoro, phenylsulfoxy, acetyl, methoxymethylalkoxy, carboxy, formyl, methoxycarbonyl, dimethylcarbamoyl, carboxy, phenylcarbonyloxy, methoxycarbonyl, difluorophenylcarbonyloxy, dimethylphenylcarbonyloxy, cyclohexylcarbonyloxy, arylcarbonyloxy, and oxo,

C<sub>5</sub>-C<sub>6</sub>-cycloalkenyl represents cyclopentyl or cyclohexyl substituted by hydroxy or amino,

heterocycle or phenyl is unsubstituted or mono- or poly-substituted by the substituents selected from the group consisting of hydroxy, methyl, amino, nitrobenzenesulfonyl, and oxo, and

pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof.

16. An agonistic composition of melanocortin receptor comprising the compound of formula 1, and pharmaceutically acceptable salt, hydrate, solvate, or isomer thereof as defined in claim 1 as active ingredients together with pharmaceutically acceptable carrier.
17. The composition according to claim 16 for the prevention and treatment of obesity.
18. The composition according to claim 16 for the prevention and treatment of diabetes.
19. The composition according to claim 16 for the prevention and treatment of inflammation.
20. The composition according to claim 16 for the prevention and treatment of erectile dysfunction.